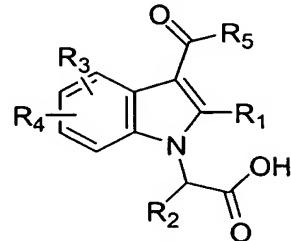


## WHAT IS CLAIMED:

## 1. Compounds of formula (I):



5 (I)

wherein:

10  $R_1$  is hydrogen,  $C_2$ - $C_6$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, or  $C_1$ - $C_3$  perfluoroalkyl, wherein the alkyl and cycloalkyl groups may be optionally substituted with halogen,  $-CN$ ,  $C_1$ - $C_6$  alkoxy,  $-OH$ ,  $-NH_2$ , or  $-NO_2$ ;

15  $R_2$  is hydrogen, or  $C_1$ - $C_8$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, thienyl,  $CH_2$ -thienyl, furanyl,  $CH_2$ -furanyl, oxazoyl,  $CH_2$ -oxazoyl, phenyl, benzyl,  $CH_2$ -naphthyl, wherein the alkyl group and the rings of the cycloalkyl, thienyl, furanyl, oxazoyl, phenyl, benzyl, and naphthyl groups may be optionally substituted by from 1 to 3 groups selected from halogen,  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $-O-C_1-C_3$  perfluoroalkyl,  $-S-C_1-C_3$  perfluoroalkyl,  $C_1$ - $C_3$  alkoxy,  $-OCHF_2$ ,  $-CN$ ,  $-COOH$ ,  $-CH_2CO_2H$ ,  $-C(O)CH_3$ ,  $-CO_2R_6$ ,  $-C(O)NH_2$ ,  $-S(O)_2CH_3$ ,  $-OH$ ,  $-NH_2$ , or  $-NO_2$ ;

20  $R_3$  is hydrogen, halogen,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $C_1$ - $C_6$  alkoxy,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl,  $-NH_2$ , or  $-NO_2$ ;

25  $R_4$  is  $C_3$ - $C_8$  alkyl,  $C_3$ - $C_6$  alkenyl,  $C_3$ - $C_6$  alkynyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, thienyl, furanyl, oxazoyl, phenyl, benzo[*b*]furan-2-yl, benzo[*b*]thien-2-yl, benzo[1,3]dioxol-5-yl, naphthyl, wherein the alkyl groups and the rings of the cycloalkyl, thienyl, furanyl, oxazoyl, phenyl, benzofuranyl, benzothienyl, and naphthyl groups may be optionally substituted by from 1 to 3 groups selected from halogen,  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $-O-C_1-C_3$  perfluoroalkyl,  $-S-C_1-C_3$  perfluoroalkyl,  $C_1$ - $C_3$  alkoxy,  $-OCHF_2$ ,  $-CN$ ,  $-COOH$ ,  $CH_2CO_2H$ ,  $-C(O)CH_3$ ,  $-C(O)OR_6$ ,  $-C(O)NH_2$ ,  $-S(O)_2CH_3$ ,  $-OH$ ,  $-NH_2$ , or  $-NO_2$ ;

$R_5$  is  $C_1$ - $C_8$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, pyridinyl,  $-CH_2$ -pyridinyl, thienyl,  $CH_2$ -thienyl, furanyl,  $CH_2$ -furanyl, oxazoyl,  $CH_2$ -oxazoyl, phenyl, benzyl, benzo[*b*]furan-2-yl, benzo[*b*]thien-2-yl, benzo[1,3]dioxol-5-yl, naphthyl,  $CH_2$ -5 naphyl, 9*H*-fluoren-1-yl, 9*H*-fluoren-4-yl, 9*H*-fluoren-9-yl, 9-fluorenone-1-yl, 9-fluorenone-2-yl, 9-fluorenone-4-yl,  $CH_2$ -9*H*-fluoren-9-yl, wherein the alkyl group and the rings of the cycloalkyl, pyridinyl, thienyl, furanyl, oxazoyl, phenyl, benzyl, benzofuranyl, benzothienyl, napthyl, fluorenyl, and fluorenone groups may be 10 optionally substituted by from 1 to 3 groups selected from halogen,  $C_1$ - $C_3$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $-O$ - $C_1$ - $C_3$  perfluoroalkyl,  $-S$ - $C_1$ - $C_3$  perfluoroalkyl,  $C_1$ - $C_3$  alkoxy, phenoxy,  $-OCHF_2$ ,  $-CN$ ,  $-COOH$ ,  $-CH_2CO_2H$ ,  $-C(O)CH_3$ ,  $-CO_2R_6$ ,  $-C(O)NH_2$ ,  $-S(O)_2CH_3$ ,  $-OH$ ,  $-NH_2$ , or  $-NO_2$ , wherein the phenoxy group may be 15 optionally substituted by from 1 to 3 groups selected from halogen,  $C_1$ - $C_3$  alkyl, or  $C_1$ - $C_3$  perfluoroalkyl; and

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$R_6$  is  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, or benzyl; or a pharmaceutically acceptable salt or ester form thereof.

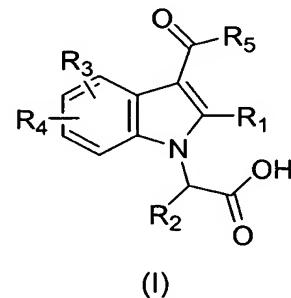
2. The compound of claim 1 wherein  $R_1$ - $R_3$  and  $R_5$ - $R_6$  are as defined in 20 claim 1, and  $R_4$  is thienyl, furanyl, oxazoyl, phenyl, benzo[*b*]furan-2-yl, benzo[*b*]thien-2-yl, benzo[1,3]dioxol-5-yl, or naphthyl, wherein the rings of the thienyl, furanyl, oxazoyl, phenyl, benzofuranyl, benzothienyl, and napthyl groups may be optionally substituted by from 1 to 3 groups selected from halogen,  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $-O$ - $C_1$ - $C_3$  perfluoroalkyl,  $-S$ - $C_1$ - $C_3$  perfluoroalkyl,  $C_1$ - $C_3$  alkoxy,  $-OCHF_2$ , 25  $-CN$ ,  $-COOH$ ,  $-CH_2CO_2H$ ,  $-C(O)CH_3$ ,  $-CO_2R_8$ ,  $-C(O)NH_2$ ,  $-S(O)_2CH_3$ ,  $-OH$ ,  $-NH_2$ , or  $-NO_2$ .

3. The compound of claim 1 which is [3-(4-chlorobenzoyl)-5-(4-chlorophenyl)-1*H*-indol-1-yl]acetic acid, or a pharmaceutically acceptable salt or ester 30 form thereof.

4. The compound of claim 1 which is [3-(Benzo[*b*]thiophene-2-carbonyl)-5-(4-methylphenyl)-1*H*-indol-1-yl]-acetic acid, or a pharmaceutically acceptable salt or ester form thereof.

5 5. The compound of claim 1 which is [3-(4-chlorobenzoyl)-5-(4-methylphenyl)-1*H*-indol-1-yl]-acetic acid, or a pharmaceutically acceptable salt or ester form thereof.

10 6. A method of inhibiting in a mammal plasminogen activator inhibitor type 1, comprising administering to a mammal in need thereof a therapeutically effective amount of a compound of formula (I):



wherein:

15  $R_1$  is hydrogen,  $C_2$ - $C_6$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, or  $C_1$ - $C_3$  perfluoroalkyl, wherein the alkyl and cycloalkyl groups may be optionally substituted with halogen, -CN,  $C_1$ - $C_6$  alkoxy, -OH, -NH<sub>2</sub>, or -NO<sub>2</sub>;

20  $R_2$  is selected from hydrogen, or  $C_1$ - $C_8$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, thienyl,  $CH_2$ -thienyl, furanyl,  $CH_2$ -furanyl, oxazoyl,  $CH_2$ -oxazoyl, phenyl, benzyl,  $CH_2$ -naphthyl, wherein the alkyl group and the rings of the cycloalkyl, thienyl, furanyl, oxazoyl, phenyl, benzyl, and napthyl groups may be optionally substituted by from 1 to 3 groups selected from halogen,  $C_1$ - $C_3$  alkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $-O-C_1-C_3$  perfluoroalkyl,  $-S-C_1-C_3$  perfluoroalkyl,  $C_1$ - $C_3$  alkoxy,  $-OCHF_2$ , -CN, -COOH, -CH<sub>2</sub>CO<sub>2</sub>H, -C(O)CH<sub>3</sub>, -CO<sub>2</sub>R<sub>6</sub>, -C(O)NH<sub>2</sub>, -S(O)<sub>2</sub>CH<sub>3</sub>, -OH, -NH<sub>2</sub>, or -NO<sub>2</sub>;

25  $R_3$  is hydrogen, halogen,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_3$  perfluoroalkyl,  $C_1$ - $C_6$  alkoxy,  $C_3$ - $C_6$  cycloalkyl,  $-CH_2$ - $C_3$ - $C_6$  cycloalkyl, -NH<sub>2</sub>, or -NO<sub>2</sub>;

R<sub>4</sub> is C<sub>3</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> alkenyl, C<sub>3</sub>-C<sub>6</sub> alkynyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, thienyl, furanyl, oxazoyl, phenyl, benzo[b]furan-2-yl, benzo[b]thien-2-yl, benzo[1,3]dioxol-5-yl, naphthyl, wherein the alkyl group and the rings of the cycloalkyl, thienyl, furanyl, oxazoyl, phenyl, benzofuranyl, benzothienyl, and naphthyl

5 groups may be optionally substituted by from 1 to 3 groups selected from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl, -O-C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl, -S-C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, -OCHF<sub>2</sub>, -CN, COOH, -CH<sub>2</sub>CO<sub>2</sub>H, -C(O)CH<sub>3</sub>, -C(O)OR<sub>6</sub>, -C(O)NH<sub>2</sub>, -S(O)-<sub>2</sub>CH<sub>3</sub>, -OH, -NH<sub>2</sub>, or -NO<sub>2</sub>;

10 R<sub>5</sub> is C<sub>1</sub>-C<sub>8</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, pyridinyl, -CH<sub>2</sub>-pyridinyl, thienyl, CH<sub>2</sub>-thienyl, furanyl, CH<sub>2</sub>-furanyl, oxazoyl, CH<sub>2</sub>-oxazoyl, phenyl, benzyl, benzo[b]furan-2-yl, benzo[b]thien-2-yl, benzo[1,3]dioxol-5-yl, naphthyl, CH<sub>2</sub>-naphthyl, 9H-fluoren-1-yl, 9H-fluoren-4-yl, 9H-fluoren-9-yl, 9-fluorenone-1-yl, 9-fluorenone-2-yl, 9-fluorenone-4-yl, CH<sub>2</sub>-9H-fluoren-9-yl, wherein the alkyl group and the rings of the cycloalkyl, pyridinyl, thienyl, furanyl, oxazoyl, phenyl, benzyl, benzofuranyl, benzothienyl, naphthyl, fluorenyl, and fluorenone groups may be optionally substituted by from 1 to 3 groups selected from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl, -O-C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl, -S-C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, phenoxy, -OCHF<sub>2</sub>, -CN, -COOH, -CH<sub>2</sub>CO<sub>2</sub>H, -C(O)CH<sub>3</sub>, -CO<sub>2</sub>R<sub>6</sub>, -C(O)NH<sub>2</sub>, -S(O)<sub>2</sub>CH<sub>3</sub>, -OH, -NH<sub>2</sub>, or -NO<sub>2</sub>, wherein the phenoxy group maybe optionally substituted by from 1 to 3 groups selected from halogen, C<sub>1</sub>-C<sub>3</sub> alkyl, or C<sub>1</sub>-C<sub>3</sub> perfluoroalkyl; and

25 R<sub>6</sub> is selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, -CH<sub>2</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl, or benzyl; or a pharmaceutically acceptable salt or ester form thereof.

7. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutical carrier.

30 8. A method for treatment of thrombosis or fibrinolytic impairment in a mammal, the method comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

9. A method of Claim 8 wherein the thrombosis or fibrinolytic impairment is associated with formation of atherosclerotic plaques, venous and arterial thrombosis, myocardial ischemia, atrial fibrillation, deep vein thrombosis, coagulation syndromes, pulmonary fibrosis, cerebral thrombosis, thromboembolic complications 5 of surgery or peripheral arterial occlusion.

10. A method for the treatment of peripheral arterial disease in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

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11. A method for the treatment of stroke associated with or resulting from atrial fibrillation in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

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12. A method for the treatment of deep vein thrombosis in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

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13. A method for the treatment of myocardial ischemia in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

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14. A method for the treatment of a cardiovascular disease caused by noninsulin dependent diabetes mellitus in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

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15. A method for the treatment of the formation of atherosclerotic plaques in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

16. A method for the treatment of chronic obstructive pulmonary disease in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

5 17. A method for the treatment of renal fibrosis in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

10 18. A method for the treatment of polycystic ovary syndrome in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

15 19. A method for the treatment of Alzheimer's disease in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim1.

20 20. A method for the treatment of cancer in a mammal, comprising administering to a mammal in need thereof a pharmaceutically effective amount of a compound of Claim 1.

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